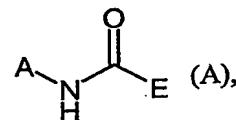


Claims

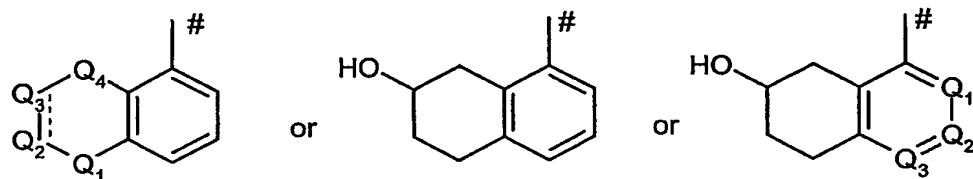
1. A compound of the formula (A), their tautomeric and stereoisomeric form, and salts thereof:



5

wherein

A represents the formula



wherein

represents the connection position to the molecule,

10 Q₁ and Q₄ (Chapter I) independently represent direct bond or methylene;Chemical bond between Q₂—Q₃ (Chapter I) is selected from the group consisting of a single bond and a double bond;when Q₂—Q₃ (Chapter I) is a single bond, Q₂ (Chapter I) represents CHR², or CO, and Q₃ (Chapter I) represents CHR³,15 when Q₂—Q₃ (Chapter I) is a double bond, Q₂ (Chapter I) represents CR² and Q₃ (Chapter I) represents CR³;

wherein

R² (Chapter I) represents hydrogen, hydroxy, C₁₋₆ alkoxy or C₁₋₆ alkanoyloxy;20 R³ (Chapter I) represents hydrogen, hydroxy, C₁₋₆ alkoxy, C₁₋₆ alkanoyloxy, or C₁₋₆ alkyl optionally substituted by hydroxy, C₁₋₆ alkoxy or C₁₋₆ alkanoyloxy,

with the proviso that Q_1 and Q_4 (Chapter I) can not be direct bond at the same time;

R^2 and R^3 (Chapter I) can not be hydrogen at the same time;

5 when Q_1 and Q_4 (Chapter I) are both methylene and R^3 (Chapter I) is hydroxy, R^2 (Chapter I) is hydroxy, C_{1-6} alkoxy or C_{1-6} alkanoyloxy;

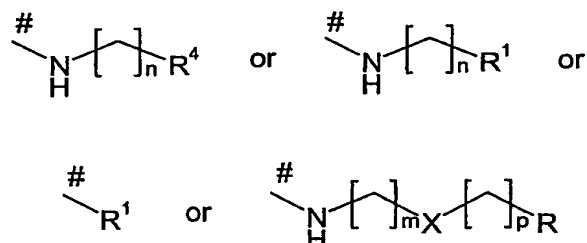
when Q_1 (Chapter I) is direct bond, R^2 (Chapter I) is hydroxy, C_{1-6} alkoxy or C_{1-6} alkanoyloxy; and when Q_4 (Chapter I) is direct bond, R^2 (Chapter I) is hydrogen, C_{1-6} alkoxy or C_{1-6} alkanoyloxy;

Q_1 , Q_2 and Q_3 (Chapter IV) independently represent N or CH,

10 with the proviso that at least one of Q_1 , Q_2 and Q_3 (Chapter IV) is N;

and

E represents the formula



wherein

represents the connection position to the molecule

n represents an integer of 0 to 6;

R^4 represents aryl optionally having one or two substituents selected from the group consisting of halogen, hydroxy, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{3-8} cycloalkylamino, C_{1-6} alkoxy carbonyl, phenyl, benzyl, sulfonamide, C_{1-6} alkanoyl, C_{1-6} alkanoyl amino, carbamoyl, C_{1-6} alkyl carbamoyl, cyano, C_{1-6} alkyl optionally substituted by cyano, C_{1-6} alkoxy carbonyl, or mono-, di-, or tri-halogen, C_{1-6} alkoxy optionally substituted by mono-, di-, or tri-halogen, phenoxy optionally substituted by halogen or C_{1-6} alkyl, and C_{1-6} alkylthio optionally substituted by mono-, di-, or tri-halogen,

R¹ (Chapter II) represents C₃₋₈cycloalkyl optionally fused by aryl,

wherein

5 said aryl is optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, carboxy, nitro, cyano, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₁₋₆ alkoxy carbonyl, C₁₋₆ alkanoyl, C₁₋₆ alkanoylamino, carbamoyl, C₁₋₆ alkyl carbamoyl, C₁₋₆ alkyl optionally substituted by cyano, C₁₋₆ alkoxy carbonyl, or mono-, di-, or tri-halogen, C₁₋₆ alkoxy optionally substituted by mono-, di-, or tri- halogen and C₁₋₆ alkylthio optionally substituted by mono-, di-, or tri- halogen;

10

phenyl substituted by heteroaryl, or heteroaryloxy,

wherein

15 said heteroaryl and heteroaryloxy are optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, carboxy, nitro, cyano, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₁₋₆ alkoxy carbonyl, C₁₋₆ alkanoyl, C₁₋₆ alkanoylamino, carbamoyl, C₁₋₆ alkyl carbamoyl, C₁₋₆ alkyl optionally substituted by cyano, C₁₋₆ alkoxy carbonyl, or mono-, di-, or tri-halogen, C₁₋₆ alkoxy optionally substituted by mono-, di-, or tri- halogen, and C₁₋₆ alkylthio optionally substituted by mono-, di-, or tri- halogen;

20

phenyl fused with heteroaryl, or heterocyclyl,

wherein

25 said heteroaryl is optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, carboxy, nitro, cyano, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₁₋₆ alkoxy carbonyl, C₁₋₆ alkanoyl, C₁₋₆ alkanoylamino, carbamoyl, C₁₋₆ alkyl carbamoyl, C₁₋₆ alkyl optionally substituted by cyano, C₁₋₆ alkoxy carbonyl, or mono-, di-, or tri-halogen, C₁₋₆ alkoxy optionally substituted by mono-, di-, or tri- halogen and C₁₋₆ alkylthio optionally substituted by mono-, di-, or tri- halogen;

30

or

5 heteroaryl optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, carboxy, nitro, cyano, amino, phenyl, benzyl, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{1-6} alkoxy carbonyl, C_{1-6} alkanoyl, C_{1-6} alkanoylamino, carbamoyl, C_{1-6} alkyl carbamoyl, C_{1-6} alkyl optionally substituted by cyano, C_{1-6} alkoxy carbonyl, or mono-, di-, or tri-halogen, C_{1-6} alkoxy optionally substituted by mono-, di-, or tri- halogen and C_{1-6} alkylthio optionally substituted by mono-, di-, or tri- halogen,

10 R^1 (Chapter III) represents aryl or heteroaryl,

wherein

15 said aryl and heteroaryl are optionally substituted with one or more substituents selected from the group consisting of halogen, nitro, hydroxy, carboxy, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{3-8} cycloalkylamino, C_{1-6} alkoxy carbonyl, phenyl (which phenyl is optionally substituted by halogen, trifluoromethyl, trifluoromethoxy, nitro, hydroxy, carboxy, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{3-8} cycloalkylamino, or C_{1-6} alkoxy carbonyl), benzyl (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl), amino, C_{3-8} cycloalkylamino, or C_{1-6} alkoxy carbonyl), heterocycle, sulfonamide, C_{1-6} alkanoyl, C_{1-6} alkanoylamino, carbamoyl, C_{1-6} alkyl carbamoyl, cyano, C_{1-6} alkyl (which alkyl is optionally substituted by cyano, nitro, hydroxy, carboxy, amino, C_{1-6} alkoxy carbonyl or mono-, di-, or tri-halogen), C_{1-6} alkoxy (which alkoxy is optionally substituted by mono-, di-, or tri- halogen), phenoxy (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{3-8} cycloalkylamino, or C_{1-6} alkoxy carbonyl or C_{1-6} alkyl), C_{1-6} alkylthio (which alkylthio is optionally substituted by mono-, di-, or tri- halogen), C_{3-8} cycloalkyl, and heterocycle;

20

25 C_{1-6} alkyl optionally substituted by R^{11} , OR^{12} , SR^{12} or $N(R^{12})(R^{13})$,

30 R^{11} represents aryl or heteroaryl,

wherein

R^{11} represents aryl or heteroaryl,

wherein

5 said aryl and heteroaryl are optionally substituted with one or more substituents selected from the group consisting of halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, C₁₋₆ alkoxy carbonyl, phenyl (which phenyl is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), benzyl (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl), amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), heterocycle, sulfonamide, C₁₋₆ alkanoyl, C₁₋₆ alkanoyl amine, carbamoyl, C₁₋₆ alkyl carbamoyl, cyano, C₁₋₆ alkyl (which alkyl is optionally substituted by cyano, nitro, hydroxy, carboxy, amino, C₁₋₆ alkoxy carbonyl or mono-, di-, or tri-halogen), C₁₋₆ alkoxy (which alkoxy is optionally substituted by mono-, di-, or tri- halogen), phenoxy (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl or C₁₋₆ alkyl), C₁₋₆ alkylthio (which alkylthio is optionally substituted by mono-, di-, or tri- halogen), C₃₋₈ cycloalkyl, and heterocycle;

10

15 R¹² represents aryl, heteroaryl, or C₁₋₆ alkyl optionally substituted by aryl or heteroaryl,

20 wherein

25 said aryl and heteroaryl are optionally substituted with one or more substituents selected from the group consisting of halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, C₁₋₆ alkoxy carbonyl, phenyl (which phenyl is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), benzyl (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl), amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), heterocycle, sulfonamide, C₁₋₆ alkanoyl, C₁₋₆

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5

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alkanoylamino, carbamoyl, C₁₋₆ alkylcarbamoyl, cyano, C₁₋₆ alkyl (which alkyl is optionally substituted by cyano, nitro, hydroxy, carboxy, amino, C₁₋₆ alkoxycarbonyl or mono-, di-, or tri-halogen), C₁₋₆ alkoxy (which alkoxy is optionally substituted by mono-, di-, or tri- halogen), phenoxy (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxycarbonyl or C₁₋₆ alkyl), C₁₋₆ alkylthio (which alkylthio is optionally substituted by mono-, di-, or tri- halogen), C₃₋₈ cycloalkyl, and heterocycle; and

R¹³ represents hydrogen, or C₁₋₆ alkyl;

or

C₃₋₈cycloalkyl optionally fused by aryl,

wherein

15

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said aryl is optionally substituted with one or more substituents selected from the group consisting of halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, C₁₋₆ alkoxycarbonyl, phenyl (which phenyl is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxycarbonyl), benzyl (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl), amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxycarbonyl), heterocycle, sulfonamide, C₁₋₆ alkanoyl, C₁₋₆ alkanoylamino, carbamoyl, C₁₋₆ alkylcarbamoyl, cyano, C₁₋₆ alkyl (which alkyl is optionally substituted by cyano, nitro, hydroxy, carboxy, amino, C₁₋₆ alkoxycarbonyl or mono-, di-, or tri-halogen), C₁₋₆ alkoxy (which alkoxy is optionally substituted by mono-, di-, or tri- halogen), phenoxy (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxycarbonyl or C₁₋₆ alkyl), C₁₋₆ alkylthio (which alkylthio is optionally substituted by mono-, di-, or tri- halogen), C₃₋₈ cycloalkyl, and heterocycle,

m represents 0, 1, 2, or 3;

p represents 0 or 1;

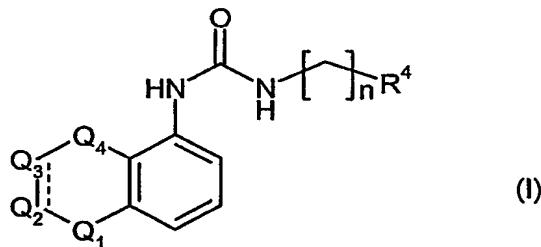
-X- represents a bond, -O- or -N(R¹)- (wherein R¹ is hydrogen or C₁₋₆ alkyl);

with the proviso that when m is 0, -X- represents a bond,

R represents aryl or heteroaryl,

5 wherein said aryl and heteroaryl are optionally substituted with one or more substituents independently selected from the group consisting of halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, C₁₋₆ alkoxy carbonyl, phenyl (which phenyl is optionally substituted by halogen, nitro, hydroxy, carboxy, 10 amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), benzyl (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), sulfonamide, 15 C₁₋₆ alkanoyl, C₁₋₆ alkanoyl amine, carbamoyl, C₁₋₆ alkyl carbamoyl, cyano, C₁₋₆ alkyl (which alkyl is optionally substituted by cyano, nitro, hydroxy, carboxy, amino, C₁₋₆ alkoxy carbonyl or mono-, di-, or tri-halogen), C₁₋₆ alkoxy (which alkoxy is optionally substituted by mono-, di-, or tri-halogen), phenoxy (in which phenyl moiety is optionally substituted by 20 halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl or C₁₋₆ alkyl), C₁₋₆ alkylthio (which alkylthio is optionally substituted by mono-, di-, or tri- halogen), C₃₋₈ cycloalkyl, and heterocycle.

2. Compound of formula (A) according to claim 1, with the formula (I), their tautomeric and stereoisomeric form, and salts thereof:



25

wherein

n represents an integer of 0 to 6;

Q_1 and Q_4 independently represent direct bond or methylene;

Chemical bond between Q_2 — Q_3 is selected from the group consisting of a single bond and a double bond;

when Q_2 — Q_3 is a single bond, Q_2 represents CHR^2 , or CO , and Q_3 represents CHR^3 ,

5 when Q_2 — Q_3 is a double bond, Q_2 represents CR^2 and Q_3 represents CR^3 ;

wherein

R^2 represents hydrogen, hydroxy, C_{1-6} alkoxy or C_{1-6} alkanoyloxy;

R^3 represents hydrogen, hydroxy, C_{1-6} alkoxy, C_{1-6} alkanoyloxy, or C_{1-6} alkyl optionally substituted by hydroxy, C_{1-6} alkoxy or C_{1-6} alkanoyloxy,

10 with the proviso that Q_1 and Q_4 can not be direct bond at the same time;

R^2 and R^3 can not be hydrogen at the same time;

when Q_1 and Q_4 are both methylene and R^3 is hydroxy, R^2 is hydroxy, C_{1-6} alkoxy or C_{1-6} alkanoyloxy;

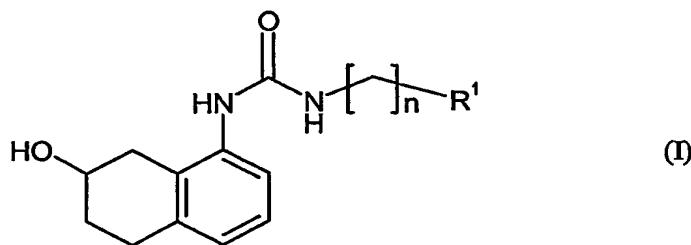
15 when Q_1 is direct bond, R^2 is hydroxy, C_{1-6} alkoxy or C_{1-6} alkanoyloxy; and when Q_4 is direct bond, R^2 is hydrogen, C_{1-6} alkoxy or C_{1-6} alkanoyloxy;

and

R^4 represents aryl optionally having one or two substituents selected from the group consisting of halogen, hydroxy, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{3-8} cycloalkylamino, C_{1-6} alkoxy carbonyl, phenyl, benzyl, sulfonamide, C_{1-6} alkanoyl, C_{1-6} alkanoyl amine, carbamoyl, C_{1-6} alkyl carbamoyl, cyano, C_{1-6} alkyl optionally substituted by cyano, C_{1-6} alkoxy carbonyl, or mono-, di-, or tri-halogen, C_{1-6} alkoxy optionally substituted by mono-, di-, or tri-halogen, phenoxy optionally substituted by halogen or C_{1-6} alkyl, and C_{1-6} alkylthio optionally substituted by mono-, di-, or tri-halogen.

25 3. Compound of formula (A) according to claim 1, with the formula (I), their tautomeric and stereoisomeric form, and salts thereof:

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wherein

n represents an integer of 0 to 6; and

R¹ represents C₃₋₈cycloalkyl optionally fused by aryl,

5 wherein

10

said aryl is optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, carboxy, nitro, cyano, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₁₋₆ alkoxy carbonyl, C₁₋₆ alkanoyl, C₁₋₆ alkanoyl amino, carbamoyl, C₁₋₆ alkyl carbamoyl, C₁₋₆ alkyl optionally substituted by cyano, C₁₋₆ alkoxy carbonyl, or mono-, di-, or tri-halogen, C₁₋₆ alkoxy optionally substituted by mono-, di-, or tri-halogen and C₁₋₆ alkylthio optionally substituted by mono-, di-, or tri-halogen;

phenyl substituted by heteroaryl, or heteroaryloxy,

wherein

15

said heteroaryl and heteroaryloxy are optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, carboxy, nitro, cyano, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₁₋₆ alkoxy carbonyl, C₁₋₆ alkanoyl, C₁₋₆ alkanoyl amino, carbamoyl, C₁₋₆ alkyl carbamoyl, C₁₋₆ alkyl optionally substituted by cyano, C₁₋₆ alkoxy carbonyl, or mono-, di-, or tri-halogen, C₁₋₆ alkoxy optionally substituted by mono-, di-, or tri-halogen, and C₁₋₆ alkylthio optionally substituted by mono-, di-, or tri-halogen;

20

phenyl fused with heteroaryl, or heterocyclyl,

wherein

said heteroaryl is optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, carboxy, nitro, cyano, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{1-6} alkoxy carbonyl, C_{1-6} alkanoyl, C_{1-6} alkanoylamino, carbamoyl, C_{1-6} alkyl carbamoyl, C_{1-6} alkyl optionally substituted by cyano, C_{1-6} alkoxy carbonyl, or mono-, di-, or tri-halogen, C_{1-6} alkoxy optionally substituted by mono-, di-, or tri-halogen and C_{1-6} alkylthio optionally substituted by mono-, di-, or tri-halogen;

5

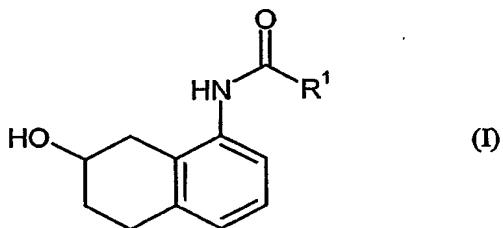
or

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heteroaryl optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, carboxy, nitro, cyano, amino, phenyl, benzyl, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{1-6} alkoxy carbonyl, C_{1-6} alkanoyl, C_{1-6} alkanoylamino, carbamoyl, C_{1-6} alkyl carbamoyl, C_{1-6} alkyl optionally substituted by cyano, C_{1-6} alkoxy carbonyl, or mono-, di-, or tri-halogen, C_{1-6} alkoxy optionally substituted by mono-, di-, or tri-halogen and C_{1-6} alkylthio optionally substituted by mono-, di-, or tri-halogen.

15

4. Compound of formula (A) according to claim 1, with the formula (I), their tautomeric and stereoisomeric form, and salts thereof:



20

wherein

R^1 represents aryl or heteroaryl,

wherein

25

said aryl and heteroaryl are optionally substituted with one or more substituents selected from the group consisting of halogen, nitro, hydroxy, carboxy, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{3-8} cycloalkylamino, C_{1-6} alkoxy carbonyl, phenyl (which phenyl is optionally substituted by halogen, trifluoromethyl, trifluoromethoxy, nitro, hydroxy, carboxy, amino, C_{1-6} alkylamino, di(C_{1-6}

alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), benzyl (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), sulfonamide, C₁₋₆ alkanoyl, C₁₋₆ alkanoyl amino, carbamoyl, C₁₋₆ alkyl carbamoyl, cyano, C₁₋₆ alkyl (which alkyl is optionally substituted by cyano, nitro, hydroxy, carboxy, amino, C₁₋₆ alkoxy carbonyl or mono-, di-, or tri-halogen), C₁₋₆ alkoxy (which alkoxy is optionally substituted by mono-, di-, or tri-halogen), phenoxy (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, C₁₋₆ alkoxy carbonyl or C₁₋₆ alkyl), C₁₋₆ alkylthio (which alkylthio is optionally substituted by mono-, di-, or tri-halogen), C₃₋₈ cycloalkyl, and heterocycle;

C₁₋₆ alkyl optionally substituted by R¹¹, OR¹², SR¹² or N(R¹²)(R¹³),

wherein

15 R¹¹ represents aryl or heteroaryl,

wherein

said aryl and heteroaryl are optionally substituted with one or more substituents selected from the group consisting of halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, C₁₋₆ alkoxy carbonyl, phenyl (which phenyl is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), benzyl (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), sulfonamide, C₁₋₆ alkanoyl, C₁₋₆ alkanoyl amino, carbamoyl, C₁₋₆ alkyl carbamoyl, cyano, C₁₋₆ alkyl (which alkyl is optionally substituted by cyano, nitro, hydroxy, carboxy, amino, C₁₋₆ alkoxy carbonyl or mono-, di-, or tri-halogen), C₁₋₆ alkoxy (which alkoxy is optionally substituted by mono-, di-, or tri-halogen), phenoxy (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, C₁₋₆ alkoxy carbonyl or C₁₋₆ alkyl), C₁₋₆ alkylthio (which alkylthio is optionally substituted by mono-, di-, or tri-halogen), C₃₋₈ cycloalkyl, and heterocycle;

5 R¹² represents aryl, heteroaryl, or C₁₋₆ alkyl optionally substituted by aryl or heteroaryl,

wherein

10 said aryl and heteroaryl are optionally substituted with one or more substituents selected from the group consisting of halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, C₁₋₆ alkoxy carbonyl, phenyl (which phenyl is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), benzyl (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), sulfonamide, C₁₋₆ alkanoyl, C₁₋₆ alkanoylamino, carbamoyl, C₁₋₆ alkyl carbamoyl, cyano, C₁₋₆ alkyl (which alkyl is optionally substituted by cyano, nitro, hydroxy, carboxy, amino, C₁₋₆ alkoxy carbonyl or mono-, di-, or tri-halogen), C₁₋₆ alkoxy (which alkoxy is optionally substituted by mono-, di-, or tri- halogen), phenoxy (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, C₁₋₆ alkoxy carbonyl or C₁₋₆ alkyl), C₁₋₆ alkylthio (which alkylthio is optionally substituted by mono-, di-, or tri- halogen), C₃₋₈ cycloalkyl, and heterocycle; and

15 R¹³ represents hydrogen, or C₁₋₆ alkyl;

20 or

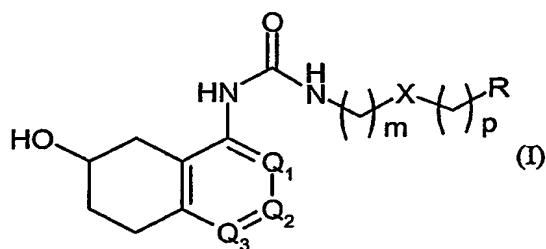
25 C₃₋₈cycloalkyl optionally fused by aryl,

wherein

30 said aryl is optionally substituted with one or more substituents selected from the group consisting of halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, C₁₋₆ alkoxy carbonyl, phenyl (which phenyl is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), benzyl (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxy carbonyl), sulfonamide, C₁₋₆ alkanoyl, C₁₋₆ alkanoylamino, carbamoyl, C₁₋₆ alkyl carbamoyl, cyano, C₁₋₆ alkyl (which alkyl is optionally substituted by cyano, nitro, hydroxy, carboxy, amino, C₁₋₆ alkoxy carbonyl or mono-, di-, or tri-halogen), C₁₋₆ alkoxy (which alkoxy is optionally substituted by mono-, di-, or tri- halogen), phenoxy (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, C₁₋₆ alkoxy carbonyl or C₁₋₆ alkyl), C₁₋₆ alkylthio (which alkylthio is optionally substituted by mono-, di-, or tri- halogen), C₃₋₈ cycloalkyl, and heterocycle;

amino, or C_{1-6} alkoxy carbonyl), sulfonamide, C_{1-6} alkanoyl, C_{1-6} alkanoylamino, carbamoyl, C_{1-6} alkyl carbamoyl, cyano, C_{1-6} alkyl (which alkyl is optionally substituted by cyano, nitro, hydroxy, carboxy, amino, C_{1-6} alkoxy carbonyl or mono-, di-, or tri-halogen), C_{1-6} alkoxy (which alkoxy is optionally substituted by mono-, di-, or tri-halogen), phenoxy (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{3-8} cycloalkylamino, C_{1-6} alkoxy carbonyl or C_{1-6} alkyl), C_{1-6} alkylthio (which alkylthio is optionally substituted by mono-, di-, or tri-halogen), C_{3-8} cycloalkyl, and heterocycle.

10 5. Compound of formula (A) according to claim 1, with the formula (I), their tautomeric and stereoisomeric form, and salts thereof:



wherein

m represents 0, 1, 2, or 3;

15 p represents 0 or 1;

-X- represents a bond, -O- or -N(R¹)- (wherein R¹ is hydrogen or C₁₋₆ alkyl);

with the proviso that when m is 0, -X- represents a bond.

Q₁, Q₂ and Q₃ independently represent N or CH,

with the proviso that at least one of Q₁, Q₂ and Q₃ is N;

20 R represents aryl or heteroaryl,

wherein said aryl and heteroaryl are optionally substituted with one or more substituents independently selected from the group consisting of halogen, nitro, hydroxy, carboxy, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{3-8} cycloalkylamino, C_{1-6} alkoxy carbonyl, phenyl (which phenyl is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, C_{3-8}

cycloalkylamino, or C₁₋₆ alkoxycarbonyl), benzyl (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxycarbonyl), sulfonamide, C₁₋₆ alkanoyl, C₁₋₆ alkanoylamino, carbamoyl, C₁₋₆ alkylcarbamoyl, cyano, C₁₋₆ alkyl (which alkyl is optionally substituted by cyano, nitro, hydroxy, carboxy, amino, C₁₋₆ alkoxycarbonyl or mono-, di-, or tri-halogen), C₁₋₆ alkoxy (which alkoxy is optionally substituted by mono-, di-, or tri- halogen), phenoxy (in which phenyl moiety is optionally substituted by halogen, nitro, hydroxy, carboxy, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, C₃₋₈ cycloalkylamino, or C₁₋₆ alkoxycarbonyl or C₁₋₆ alkyl), C₁₋₆ alkylthio (which alkylthio is optionally substituted by mono-, di-, or tri- halogen), C₃₋₈ cycloalkyl, and heterocycle.

6. A medicament comprising the compound of the formula (A), its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof as claimed in claim 1 as an active ingredient.

15 7. The medicament as claimed in claim 6, further comprising one or more pharmaceutically acceptable excipients.

8. The medicament as claimed in claim 6, wherein said compound of the formula (A), its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof is a VR1 antagonist.

20 9. The medicament as claimed in claim 6 for the treatment and/or prevention of an urological disorder or disease.

10. The medicament as claimed in claim 9, wherein said urological disorder or disease is detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), 25 benign prostatic hyperplasia, and lower urinary tract symptoms.

11. The medicament as claimed in claim 6 for the treatment and/or prevention of pain.

12. The medicament as claimed in claim 11, wherein said pain is chronic pain, neuropathic pain, postoperative pain, or rheumatoid arthritic pain.

13. The medicament as claimed in claim 6 for the treatment and/or prevention of a disorder or 30 disease related to pain.

14. The medicament as claimed in claim 13, wherein said disorder or disease related to pain is neuralgia, neuropathies, algesia, nerve injury, ischaemia, neurodegeneration, or stroke.
15. The medicament as claimed in claim 6 for the treatment and/or prevention of an inflammatory disorder or disease.
- 5 16. The medicament as claimed in claim 15, wherein said inflammatory disorder or disease is asthma or COPD.
17. Use of compounds according to claim 1 for manufacturing a medicament for the treatment and/or prevention of an urological disorder or disease.
18. Use of compounds according to claim 1 for manufacturing a medicament for the treatment and/or prevention of pain.
- 10 19. Use of compounds according to claim 1 for manufacturing a medicament for the treatment and/or prevention of an inflammatory disorder or disease.
20. Process for controlling an urological disorder or disease in humans and animals by administration of a VR1-antagonistically effective amount of at least one compound
- 15 according to claim 1.
21. Process for controlling pain in humans and animals by administration of a VR1-antagonistically effective amount of at least one compound according to claim 1.
22. Process for controlling an inflammatory disorder or disease in humans and animals by administration of a VR1-antagonistically effective amount of at least one compound
- 20 according to claim 1.